

RA2QM1-M

PA - (MITA ) MITSUI CHEM INC

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PR - JP19990000795 19990106

XA - C2000-199936

XIC - A61K-031/07 ; A61K-031/167 ; A61K-031/4355 ; A61K-031/44 ;  
A61K-031/4402 ; A61K-031/4406 ; A61K-031/47 ; A61P-035/00 ;  
A61P-043/00 ; C07D-213/30 ; C07D-213/65 ; C07D-213/75 ; C07D-215/48 ;  
C07D-491/048

AB - JP2000256194 NOVELTY - New intranuclear receptor agonist and its effect intensifier comprises a benzamide derivative or its pharmacological salts as an active ingredient.

- DETAILED DESCRIPTION - New intranuclear receptor agonist and its effect intensifier comprises benzamide derivative of formula (1), which has histone deacetylase inhibitory activity, or its pharmacological salts as active ingredient.

- R<sub>1</sub>, R<sub>2</sub> = H, halo, OH, amino, 1-4C alkyl, 1-4C alkoxy, 1-4C aminoalkyl, 1-4C alkylamino, 1-4C acyl, 1-4C acylamino, 1-4C alkylthio, 1-4C perfluoroalkyl, 1-4C perfluoroalkyloxy, carboxyl or 1-4C alkoxycarbonyl;

- R<sub>3</sub> = amino or OH;

- A = pyridine ring or condensed pyridine ring which may be substituted with 1 to 4 bases selected from halogen, OH, amino, nitro, cyano, 1-4C alkyl, 1-4C alkoxy, 1-4C aminoalkyl, 1-4C alkylamino, 1-4C acyl, 1-4C acylamino, 1-4C alkylthio, 1-4C perfluoroalkyl, 1-4C perfluoroalkyloxy, carboxyl and 1-4C alkoxycarbonyl;

- X = straight bond, -(CH<sub>2</sub>)<sub>e</sub>-, -(CH<sub>2</sub>)<sub>g</sub>-O-(CH<sub>2</sub>)<sub>e</sub>-,  
-(CH<sub>2</sub>)<sub>g</sub>-N(R<sub>4</sub>)-(CH<sub>2</sub>)<sub>e</sub>-, -(CH<sub>2</sub>)<sub>g</sub>-S-(CH<sub>2</sub>)<sub>e</sub>-, -(CH<sub>2</sub>)<sub>g</sub>-C(O)-(CH<sub>2</sub>)<sub>m</sub>-,  
-(CH<sub>2</sub>)<sub>g</sub>-N(R<sub>5</sub>)-C(O)-(CH<sub>2</sub>)<sub>m</sub>- or -(CH<sub>2</sub>)<sub>g</sub>-C(O)-N(R<sub>5</sub>)-(CH<sub>2</sub>)<sub>m</sub>-;

- n = 1-4;

- Q = -C(O)-N(R<sub>7</sub>)-, -N(R<sub>7</sub>)-C(O)-, -O-C(O)-N(R<sub>7</sub>)-, -N(R<sub>7</sub>)-C(O)-O-,  
-N(R<sub>7</sub>)-C(O)-N(R<sub>8</sub>)-, -C(S)-N(R<sub>7</sub>)-, -N(R<sub>7</sub>)-C(S)-, -O-C(S)-N(R<sub>7</sub>)-,  
-N(R<sub>7</sub>)-C(S)-O- or -N(R<sub>7</sub>)-C(S)-N(R<sub>8</sub>)-;

- e = 1-4;

- g, m = 0-4;

- R<sub>4</sub> = H, 1-4C alkyl or -C(O)-R<sub>6</sub>;

- R<sub>5</sub> = H or 1-4C alkyl;

- R<sub>7</sub>, R<sub>8</sub> = H or 1-4C alkyl.

- R<sub>6</sub> = 1-4C alkyl, 1-4C perfluoroalkyl, phenyl or pyridine ring.

- INDEPENDENT CLAIMS are also included for:

- (1) intranuclear receptor agonist and its effect intensifier comprising benzamide derivative of formula (5), (6), (7) or their salts as active ingredient;

- (2) medicine containing at least one benzamide derivative of (1), (5), (6), (7) or their salts; and

- (3) anti-cancer agent containing (1), (5), (6), (7) or their salts.....

- ACTIVITY - Cytostatic.

- MECHANISM OF ACTION - Intranuclear receptor agonist; histone deacetylase inhibitor.

- USE - The intranuclear receptor agonist is effective for the treatment and/or improvement of diseases related to hormones or vitamins, or related to cell proliferation or homeostasis of living body,

AN - 2000-658946 [64]

AP - JP19990236850 19990824

CPY - MITA

DC - B05

DR - 1211-U

FS - CPI

IC - A61K31/07 ; A61K31/167 ; A61K31/4355 ; A61K31/44 ; A61K31/4402 ;  
A61K31/4406 ; A61K31/47 ; A61P35/00 ; A61P43/00 ; C07D213/30 ;  
C07D213/65 ; C07D213/75 ; C07D215/48 ; C07D491/048

MC - B03-A B04-K01 B07-D04C B10-A12B B10-A12C B10-A13A B10-A13D B10-A15  
B10-B01 B10-B02 B10-B03 B10-B04 B10-C01 B10-C02 B10-C03 B10-C04B  
B10-C04C B10-D01 B10-D02 B10-D03 B14-D01 B14-D03 B14-H01 B14-L01  
B14-S09

M2 - [01]

- [02] F013 F431 G011 G013 G100 H1 H100 H141 H5 H521 H8 J0 J012 J3 J331  
J371 M1 M121 M136 M280 M311 M312 M321 M332 M342 M373 M381 M391 M413  
M431 M510 M521 M532 M540 M710 M782 M904 M905 P616 P617 P625 P633 P861;  
RA2QLI-T RA2QLI-M RA2QLI-N
- [03] F013 F431 G011 G013 G100 H1 H100 H141 H5 H592 H9 J0 J012 J3 J331  
J371 M1 M121 M136 M280 M311 M322 M342 M349 M373 M381 M391 M413 M431  
M510 M521 M532 M540 M710 M782 M904 M905 P616 P617 P625 P633 P861;  
RA2QLJ-T RA2QLJ-M RA2QLJ-N
- [04] F013 F431 G011 G013 G100 H1 H100 H102 H121 H141 J0 J012 J3 J331  
J371 M1 M121 M136 M280 M311 M322 M342 M349 M373 M381 M391 M413 M431  
M510 M521 M532 M540 M710 M782 M904 M905 P616 P617 P625 P633 P861;  
RA2QLK-T RA2QLK-M RA2QLK-N
- [05] F013 F431 G011 G013 G100 H1 H100 H141 J0 J012 J3 J311 J331 M1  
M121 M136 M280 M311 M321 M342 M373 M391 M413 M431 M510 M521 M532 M540  
M710 M782 M904 M905 P616 P617 P625 P633 P861; RA2QLL-T RA2QLL-M  
RA2QLL-N
- [06] F013 F431 G011 G013 G100 H1 H100 H141 J0 J012 J3 J311 J331 M1  
M121 M136 M280 M312 M321 M332 M342 M373 M391 M413 M431 M510 M521 M532  
M540 M710 M782 M904 M905 P616 P617 P625 P633 P861; RA2QLN-T RA2QLN-M  
RA2QLN-N
- [07] F013 F431 G011 G013 G100 H1 H100 H141 J0 J012 J3 J311 J331 M1  
M121 M136 M280 M313 M321 M332 M342 M373 M391 M413 M431 M510 M521 M532  
M540 M710 M782 M904 M905 P616 P617 P625 P633 P861; RA2QLO-T RA2QLO-M  
RA2QLO-N
- [08] F013 F431 G011 G013 G100 H1 H100 H141 J0 J012 J3 J331 J371 M1  
M121 M136 M280 M311 M322 M342 M372 M373 M391 M413 M431 M510 M521 M532  
M540 M710 M782 M904 M905 P616 P617 P625 P633 P861; RA2QLP-T RA2QLP-M  
RA2QLP-N
- [09] F013 F431 G011 G013 G100 H1 H100 H141 J0 J012 J3 J331 J371 M1  
M121 M136 M280 M311 M312 M321 M332 M342 M372 M373 M391 M413 M431 M510  
M521 M532 M540 M710 M782 M904 M905 P616 P617 P625 P633 P861; RA2QLQ-T  
RA2QLQ-M RA2QLQ-N
- [10] F013 F431 G011 G013 G100 H1 H100 H141 J0 J012 J3 J331 J371 M1  
M121 M136 M280 M311 M313 M321 M332 M342 M372 M373 M391 M413 M431 M510  
M521 M532 M540 M710 M782 M904 M905 P616 P617 P625 P633 P861; RA2QLR-T  
RA2QLR-M RA2QLR-N
- [11] F013 F431 G011 G013 G100 H1 H100 H141 J0 J012 J3 J331 J371 M1